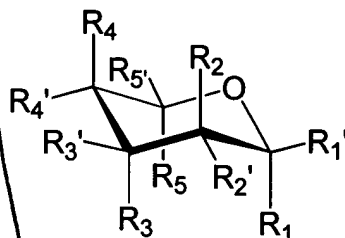


CLAIMS

What is claimed is:

- Sub 5
1. A compound comprising a glycosyl moiety having a nitrogen-based substituent linked to a carbon atom within said glycosyl moiety,
- wherein said nitrogen-based substituent is selected from the group consisting of -NH_2 , $\text{-N}^+(\text{CH}_3)_3$,
10 $\text{-(CH}_2)_n\text{-N(R}_{10})_3$, and $\text{-NH-C(N}^+\text{H}_2)\text{-NH}_2$, and
- wherein substituents linked to other carbon atoms within said glycosyl moiety are independently selected from the group consisting of hydrogen, -alkyl, -O-alkyl, -O-C(O)-alkyl, -O-CH₂-CH₂(O-C(O)-R₆)-CH₂(O-C(O)-R₇),
15 -O-CH₂-CH₂(OR₆)-CH₂(OR₇), -O-CH₂-CH₂(R₆)-CH₂(R₇), -O-(CH₂)_m-cholesterol, polyethylene glycol, -O-(CH₂)_n-N(R₈)₃, -NH_2 , $\text{-N}^+(\text{CH}_3)_3$, $\text{-(CH}_2)_n\text{-N(R}_9)_3$, and - (CH₂)-OR₁₀,
- wherein R₆, R₇, R₈, R₉, and R₁₀ are independently
20 selected from the group consisting of hydrogen, methyl, and alkyl,
- wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and
- wherein n is selected from the group consisting of 1,
25 2, 3, 4, and 5.

2. A compound of claim 1 having a structure set forth in formula I:



(I)

wherein said R_1 and R_1' are independently selected from the group consisting of hydrogen, $-OH$, $-OCH_3$, $-alkyl$, $-O-alkyl$, $-O-C(O)-alkyl$,

- 5 $-O-CH_2-CH_2(O-C(O)-R_6)-CH_2(O-C(O)-R_7)$,
 $-O-CH_2-CH_2(OR_6)-CH_2(OR_7)$, $-O-CH_2-CH_2(R_6)-CH_2(R_7)$,
 $-O-(CH_2)_m$ -cholesterol, polyethylene glycol,
 $-O-(CH_2)_n-N(R_8)_3$, $-NH_2$, $-N^+(CH_3)_3$, $-(CH_2)_n-N(R_9)_3$, and
 $-(CH_2)-OR_{10}$, wherein R_6 , R_7 , R_8 , R_9 , and R_{10} are independently
 10 selected from the group consisting of hydrogen, methyl, and
 alkyl, and wherein m is selected from the group consisting
 of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the
 group consisting of 1, 2, 3, 4, and 5;

- wherein R_2 and R_2' are independently selected from the
 15 group consisting of hydrogen, $-NH_2$, $-N^+(CH_3)_3$,
 $-(CH_2)_n-N(R_{11})_3$, and $-NH-C(N^+H_2)-NH_2$, wherein said R_{11} is
 selected from the group consisting of hydrogen, methyl, and
 alkyl; and

- wherein R_3 , R_3' , R_4 , R_4' , R_5 and R_5' are independently
 20 selected from the group consisting of hydrogen, $-OH$,
 $-OCH_3$, $-alkyl$, $-O-alkyl$, $-O-C(O)-alkyl$,
 $-O-CH_2-CH_2(O-C(O)-R_6)-CH_2(O-C(O)-R_7)$,
 $-O-CH_2-CH_2(OR_6)-CH_2(OR_7)$, $-O-CH_2-CH_2(R_6)-CH_2(R_7)$,
 $-O-(CH_2)_m$ -cholesterol, polyethylene glycol,
 25 $-O-(CH_2)_n-N(R_8)_3$, $-NH_2$, $-N^+(CH_3)_3$, $-(CH_2)_n-N(R_9)_3$, and
 $-(CH_2)-OR_{10}$ wherein R_6 , R_7 , R_8 , R_9 , and R_{10} are independently

selected from the group consisting of hydrogen, methyl, and alkyl, and wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the group consisting of 1, 2, 3, 4, and 5;

5 provided that R_5' is not $-\text{CH}_2-\text{O}-\text{C}(\text{O})-(\text{CH}_2)_{14}\text{CH}_3$ when R_3' and R_4' are $-\text{OH}$, R_2' is $-\text{NH}_2$, and R_1' is $-\text{OCH}_3$; and

provided that R_5' is not $-\text{CH}_2-\text{O}-\text{C}(\text{O})-(\text{CH}_2)_p\text{CH}_3$, wherein p is selected from the group consisting of 10, 12, 14, or 16, when R_3' is identical to R_5' , R_4' is
10 $-\text{OH}$, R_2' is $-\text{NH}_2$, and R_1' is $-\text{OCH}_3$.

3. The compound of claim 2, wherein R_2 and R_2' are independently selected from the group consisting of hydrogen, $-\text{NH}_2$, $-\text{N}^+(\text{CH}_3)_3$, and $-\text{NH}-\text{C}(\text{N}^+\text{H}_2)-\text{NH}_2$.
15

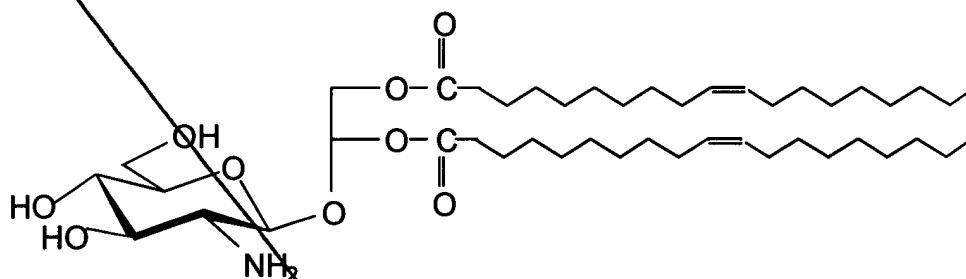
4. The compound of claim 3, wherein R_3 , R_3' , R_4 , R_4' , R_5 , and R_5' are independently selected from the group consisting of hydrogen, $-\text{OH}$, $-\text{O}-\text{C}(\text{O})$ -alkyl, $-\text{O}$ -alkyl, alkyl, and $-(\text{CH}_2)-\text{OH}$.
20

5. The compound of claim 4, wherein R_1 and R_1' are independently selected from the group consisting of hydrogen, $-\text{OCH}_3$, alkyl, $-\text{O}$ -alkyl, $-\text{O}-\text{C}(\text{O})$ -alkyl, $-\text{O}-\text{CH}_2-\text{CH}_2(\text{alkyl})-\text{CH}_2(\text{alkyl})$,
25 $-\text{O}-\text{CH}_2-\text{CH}_2(\text{O-alkyl})-\text{CH}_2(\text{O-alkyl})$,
 $-\text{O}-\text{CH}_2-\text{CH}_2(\text{O}-\text{C}(\text{O})\text{-alkyl})-\text{CH}_2(\text{O}-\text{C}(\text{O})\text{-alkyl})$,
 $-\text{O}-(\text{CH}_2)_m\text{-cholesterol}$, $-\text{O}-(\text{CH}_2)_n\text{-NH}_2$, and
 $-\text{O}-(\text{CH}_2)_n\text{-N}^+(\text{CH}_3)_3$, wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is
30 selected from the group consisting of 1, 2, 3, 4, and 5.

6. The compound of claim 5, wherein said alkyl moiety is a straight chain hydrocarbon moiety having 14, 16, or 18 carbon atoms and 0, 1, 2, or 3 unsaturations.

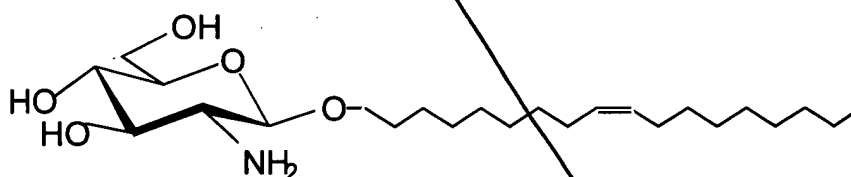
7. The compound of claim 6 having the structure set forth in formula (II):

(II)



8. The compound of claim 6 having the structure set forth in formula (III):

(III)



9. The compound of claim 6 having the structure set forth in formula (IV):

(IV)

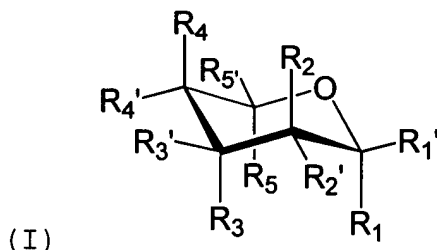


10. A composition for delivery one or more macromolecules into one or more cells, comprising:

- (a) a compound comprising a glycosyl moiety having a
 5 nitrogen-based substituent linked to a carbon atom within
 said glycosyl moiety, wherein said nitrogen-based
 substituent is selected from the group consisting of
 $-\text{NH}_2$, $-\text{N}^+(\text{CH}_3)_3$, $-(\text{CH}_2)_n-\text{N}(\text{R}_{10})_3$, and $-\text{NH}-\text{C}(\text{N}^+\text{H}_2)-\text{NH}_2$, and
 wherein substituents linked to other carbon atoms within
 10 said glycosyl moiety are independently selected from the
 group consisting of hydrogen, -alkyl, -O-alkyl,
 $-\text{O}-\text{C}(\text{O})-\text{alkyl}$, $-\text{O}-\text{CH}_2-\text{CH}_2(\text{O}-\text{C}(\text{O})-\text{R}_6)-\text{CH}_2(\text{O}-\text{C}(\text{O})-\text{R}_7)$,
 $-\text{O}-\text{CH}_2-\text{CH}_2(\text{OR}_6)-\text{CH}_2(\text{OR}_7)$, $-\text{O}-\text{CH}_2-\text{CH}_2(\text{R}_6)-\text{CH}_2(\text{R}_7)$,
 $-\text{O}-(\text{CH}_2)_m-\text{cholesterol}$, polyethylene glycol,
 15 $-\text{O}-(\text{CH}_2)_n-\text{N}(\text{R}_8)_3$, $-\text{NH}_2$, $-\text{N}^+(\text{CH}_3)_3$, $-(\text{CH}_2)_n-\text{N}(\text{R}_9)_3$, and
 $-(\text{CH}_2)-\text{OR}_{10}$ wherein R_6 , R_7 , R_8 , R_9 , and R_{10} are independently
 selected from the group consisting of hydrogen, methyl, and
 alkyl, and wherein m is selected from the group consisting
 of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the
 20 group consisting of 1, 2, 3, 4, and 5; and
- (b) said macromolecule or macromolecules.

11. A composition for delivering one or more macromolecules into one or more cells, comprising:

- (a) a compound having a structure set forth in
 25 formula (I):



(I)

wherein R₁ and R₁' are independently selected from the group consisting of hydrogen, -OH, -OCH₃, -alkyl, -O-alkyl, -O-C(O)-alkyl, -O-CH₂-CH₂(O-C(O)-R₆)-CH₂(O-C(O)-R₇), -O-CH₂-CH₂(OR₆)-CH₂(OR₇), -O-CH₂-CH₂(R₆)-CH₂(R₇), -O-(CH₂)_m-cholesterol, -O-(CH₂)_n-N(R₈)₃, -NH₂, -N⁺(CH₃)₃, -(CH₂)_n-N(R₉)₃, and -(CH₂)-OR₁₀, wherein R₆, R₇, R₈, R₉, and R₁₀ are independently selected from the group consisting of hydrogen, methyl, and alkyl, and wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the group consisting of 1, 2, 3, 4, and 5;

wherein R₂ and R₂' are independently selected from the group consisting of hydrogen, -NH₂, -N⁺(CH₃)₃, -(CH₂)_n-N(R₁₁)₃, and -NH-C(N⁺H₂)-NH₂, wherein said R₁₁ is selected from the group consisting of hydrogen, methyl, and alkyl; and

wherein R₃, R₃', R₄, R₄', R₅, and R₅' are independently selected from the group consisting of hydrogen, -OH, -OCH₃, -alkyl, -O-alkyl, -O-C(O)-alkyl, -O-CH₂-CH₂(O-C(O)-R₆)-CH₂(O-C(O)-R₇), -O-CH₂-CH₂(OR₆)-CH₂(OR₇), -O-CH₂-CH₂(R₆)-CH₂(R₇), -O-(CH₂)_m-cholesterol, -O-(CH₂)_n-N(R₈)₃, -NH₂, -N⁺(CH₃)₃, -(CH₂)_n-N(R₉)₃, and -(CH₂)-OR₁₀, wherein R₆, R₇, R₈, R₉, R₁₀ are independently selected from the group consisting of hydrogen, methyl, and alkyl, and wherein m is selected from

the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the group consisting of 1, 2, 3, 4, and 5; and

(b) said macromolecule or macromolecules.

5

12. The composition of claim 11, wherein R_2 and R_2' are independently selected from the group consisting of $-NH_2$, $-N^+(CH_3)_3$, and $-NH-C(N^+H_2)-NH_2$.

10

13. The composition of claim 12, wherein said R_3 , R_3' , R_4 , R_4' , R_5 , and R_5' are independently selected from the group consisting of hydrogen, $-OH$, $-O-C(O)-alkyl$, $-O-alkyl$, and $-alkyl$, $-(CH_2)-OH$.

15

14. The composition of claim 13, wherein said R_1 and R_1' are independently selected from the group consisting of $-OCH_3$, $-alkyl$, $-O-alkyl$, $-O-C(O)-alkyl$, $-O-CH_2-CH_2(alkyl)-CH_2(alkyl)$, $-O-CH_2-CH_2(O-alkyl)-CH_2(O-alkyl)$, $-O-CH_2-CH_2(O-C(O)-alkyl)-CH_2(O-C(O)-alkyl)$, $-O-(CH_2)_m-cholesterol$, $-O-(CH_2)_n-NH_2$, and

20

$-O-(CH_2)_n-N^+(CH_3)_3$, wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the group consisting of 1, 2, 3, 4, and 5;

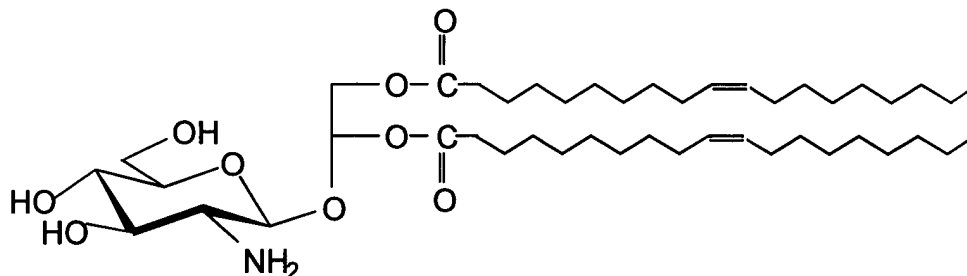
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15. The composition of claim 14, wherein said alkyl moiety is a straight chain hydrocarbon moiety having 14, 16, or 18 carbon atoms and 0, 1, 2, or 3 unsaturations.

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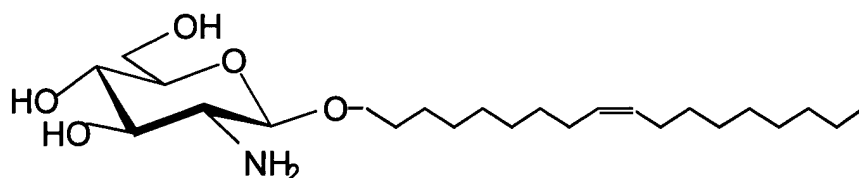
16. The composition of claim 15, wherein said compound has the structure set forth in formula (II):

(II)



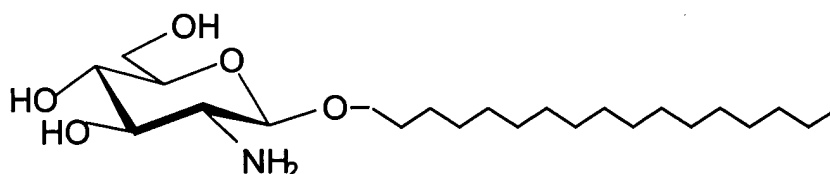
17. The composition of claim 15, wherein said
5 compound has the structure set forth in formula (III):

(III)



18. The composition of claim 15, wherein said
10 compound has the structure set forth in formula (IV):

(IV)



19. The composition of claim 11, wherein said
15 macromolecule is an anionic molecule.

20. The composition of claim 19, wherein said anionic molecule is selected from the group consisting of a polynucleotide molecule, a DNA molecule, a RNA molecule,

and a nucleotide analog molecule.

21. The composition of claim 20, wherein said DNA molecule is a plasmid molecule comprising at least one
5 element for polypeptide expression in one or more eukaryotic cells.

22. The composition of claim 21, wherein said plasmid molecule further comprises a gene encoding IL-2.

10

23. The composition of claim 11, further comprising at least one co-lipid.

24. The composition of claim 23, wherein said co-lipid is DOPE.

15

25. The composition of claim 23, wherein said co-lipid is cholesterol.

26. The composition of claim 11, further comprising a cryoprotectant.

20

27. The composition of claim 26, wherein said cryoprotectant is PVP.

28. The composition of claim 11, wherein said composition is capable of forming liposomes.

25

29. The composition of claim 11 having an effective diameter between 100 nanometers and 300 nanometers.

30

30. The composition of claim 11 having a -/+ charge ratio selected from the group consisting of 1:0.5, 1:1, 1:2, 1:3, 1:4, 1:5, 1:6, and 1:9.

5 31. A method for delivering macromolecules to cells of a mammal, comprising the step of administering a composition of any one of claims 11-30 to said cells.

10 32. The method of claim 31, wherein said composition is administered to said cells *in vitro*.

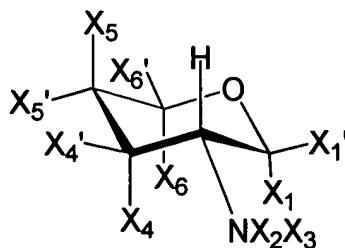
33. The method of claim 31, wherein said composition is administered to said cells *in vivo*.

15 34. The method of claim 31, wherein said administration results in IL-2 expression in said cells.

20 35. The method of claim 31, wherein said composition is administered by a technique selected from the group consisting of direct injection to a tissue, parenteral injection, intravenous injection, oral administration, and administration by inhalation.

25 36. A method for synthesizing a compound of claim 2, comprising the steps of:

(a) reacting a first reactant of formula (V):



V

with a second reactant,

wherein X_1 and X_1' are independently selected from the group consisting of hydrogen, halogen atom, and an
 5 activatable moiety; X_2 and X_3 are independently selected from the group consisting of a protecting moiety, hydrogen, halogen, or any activatable moiety; and X_4 , X_4' , X_5 , X_5' , X_6 and X_6' are independently selected from the group consisting of hydrogen, -O-acetyl, -OH,
 10 -CH₂-O-acetyl, -CH₂-OH, and -O-alkyl;

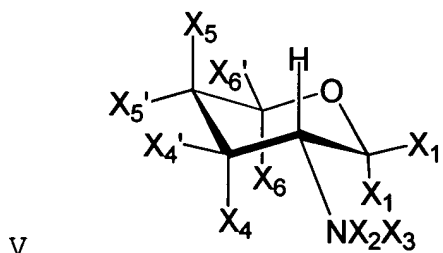
wherein said second reactant is selected from the group consisting of HOCH₃, HO-alkyl, HO-C(O)-alkyl, HO-CH₂-CH₂(O-C(O)-R₆)-CH₂(O-C(O)-R₇), HO-CH₂-CH₂(OR₆)-CH₂(OR₇), HO-CH₂-CH₂(R₆)-CH₂(R₇),
 15 HO-(CH₂)_m-cholesterol, and HO-(CH₂)_n-N(R₈)₃, wherein R₆, R₇, R₈, and R₉ are independently selected from the group consisting of hydrogen, methyl, and alkyl, and wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the group consisting of 1,
 20 2, 3, 4, and 5;

(b) reacting the product of step (a) with a reducing agent; and

(c) purifying said compound of claim 2.

25 37. A method for synthesizing a compound of claim 2, comprising the steps of:

(a) reacting a first reactant of formula (V):



with a second reactant,

- 5 wherein X_1 and X_1' are independently selected from the group consisting of hydrogen, $-OCH_3$, $-alkyl$, $-O-alkyl$, $-O-C(O)-alkyl$, $-O-CH_2-CH_2(O-C(O)-R_6)-CH_2(O-C(O)-R_7)$, $-O-CH_2-CH_2(OR_6)-CH_2(OR_7)$, $-O-CH_2-CH_2(R_6)-CH_2(R_7)$, $-O-(CH_2)_m-cholesterol$, $-O-(CH_2)_n-N(R_8)_3$, $-NH_2$, $-N^+(CH_3)_3$, and $-(CH_2)_n-N(R_9)_3$, wherein R_6 , R_7 , R_8 , and R_9 are independently selected from the group consisting of hydrogen, methyl, and alkyl, and wherein m is selected from the group consisting of 0, 1, 2, 3, 4, and 5, and wherein n is selected from the group consisting of 1, 2, 3, 4, and 5; and wherein X_2 and X_3 are independently selected from the group consisting of hydrogen and a protecting group, and X_4 , X_4' , X_5 , X_5' , X_6 , and X_6' are independently selected from the group consisting of hydrogen, $-OH$, and $-O-alkyl$;
- 15
- 20 wherein said second reactant is selected from the group consisting of $ClCH_3$, $Cl-alkyl$, $Cl-CH_2-CH_2(O-C(O)-R_6)-CH_2(O-C(O)-R_7)$, $Cl-CH_2-CH_2(OR_6)-CH_2(OR_7)$, $Cl-CH_2-CH_2(R_6)-CH_2(R_7)$, $Cl-(CH_2)_m-cholesterol$, and $Cl-(CH_2)_n-N(R_8)_3$, wherein R_6 , R_7 , R_8 , and R_9 are independently selected from the group consisting of hydrogen, methyl, and alkyl, and wherein m is
- 25

selected from the group consisting of 0, 1, 2, 3, 4, and 5,
and wherein n is selected from the group consisting of 1,
2, 3, 4, and 5;

(b) reacting the product of step (a) with a
5 reducing agent and a catalyst; and

(c) purifying said compound of claim 2.

10 38. The method of claims 36 and 37, wherein said
protecting group is an N-phthalimido moiety.

39. The method of claims 36 and 37, wherein said
reducing agent is selected from the group consisting of
 H_2NNH_2 , H_2 , and NABH_4 .

15 40. The method of claim 37, wherein said catalyst is
palladium.

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